

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference APB/P33153 | | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416) | |
| International application No. PCT/EP 03/14867 | International filing date (day/month/year) 19.12.2003 | Priority date (day/month/year) 23.12.2002 | |
| International Patent Classification (IPC) or both national classification and IPC C07D471/04 | | | |
| Applicant GLAXO GROUP LIMITED | | | |

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 4 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
 These annexes consist of a total of sheets.
- This report contains indications relating to the following items:

I ☒ Basis of the opinion

II ☐ Priority

III ☒ Non-establishment of opinion with regard to novelty, inventive step and Industrial applicability



IV ☐ Lack of unity of invention

V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

VI ☐ Certain documents cited

VII ☐ Certain defects in the international application

VIII ☐ Certain observations on the international application

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|---|---|
| Date of submission of the demand 19.12.2003 | Date of completion of this report 16.03.2005 |
| Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Authorized Officer Ousset, J-B Telephone No. +49 89 2399-8271 <div style="text-align: right;">  </div> |

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/EP 03/14867**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-211 as originally filed

Claims, Numbers

1-57 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 53

because:

☒ the said international application, or the said claims Nos. 53 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | |
|-------------------------------|-------------|------------|
| Novelty (N) | Yes: Claims | 1-52,54-57 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | |
| | No: Claims | 1-52,54-57 |
| Industrial applicability (IA) | Yes: Claims | 1-52,54-57 |
| | No: Claims | |

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
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International application No. PCT/EP 03/14867

SECTION III

1). Claim 53 relates to the treatment of human and/or animal bodies. According to Rule 67(1)(iv) an examination is not required for such a claim.

SECTION V

2). Relevant prior art is represented by:

D1: WO 00/15222 A (SQUIBB BRISTOL MYERS CO) 23 March 2000 (2000-03-23)

3). the claimed matter is novel vis-à-vis the content of D1, due to the absence of a carbonyl group at the position 6 of the central moiety. The entity "Het" is directly linked to the central condensed ring system in the compounds currently claimed.

4). D1 represents the closest prior art, since it discloses compounds having the same pharmaceutical properties (phosphodiesterase inhibitor).

The problem underlying the current application appears to be the provision of further fused pyridine derivatives able to inhibit phosphodiesterase.

The experimental protocols present on pages 84-94 show that this problem has been solved for at least the tested compound and a reasonable generalisation thereof.

However, an inventive step cannot be acknowledged, since the wording of the claims contains unlimited expressions for the different substituted forming the claimed compounds. Consequently, an unlimited number of compounds cannot inherently have the claimed pharmaceutical properties.

Moreover, in view of the compounds exemplified in the description, it has not yet been made credible that the whole claimed scope is to be regarded by the skilled person as an obvious generalisation of what has been shown by the applicant.

Thus, inventive step is not acknowledged.

5). There is no objection with regard to industrial applicability.